

wherein one of the saccharides has an OH group and the other has a group which reacts with the OH group to form a glycosylation -O- linkage in the desired stereochemistry

C1 the other [position] positions [protective or functionalizable] having groups which are inert in the glycosylation reaction [and

the protective and functionalizable] said groups being selected to permit the substitution of given substituents at the various positions without altering the remaining portion of the compound.

Add the following claims.

Sub D1 --49. An oligosaccharide which comprises a chain of from 2 to 12 saccharides having a binary unit of the structure (A-U)<sub>n</sub> or (U-A)<sub>n</sub> wherein

n is 1 to 6,

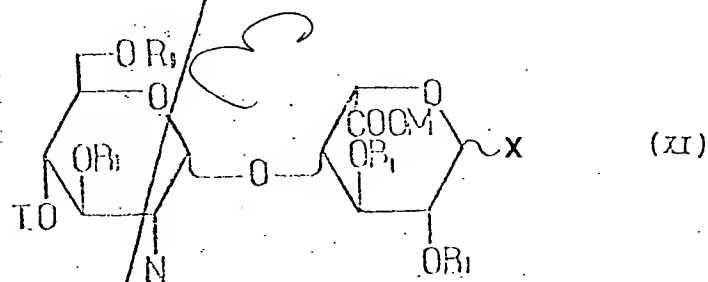
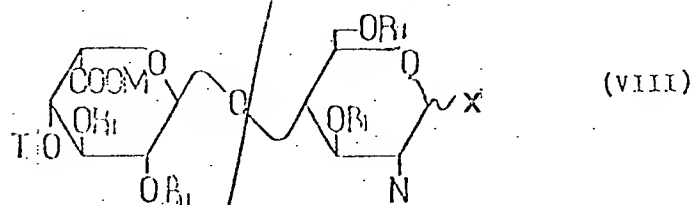
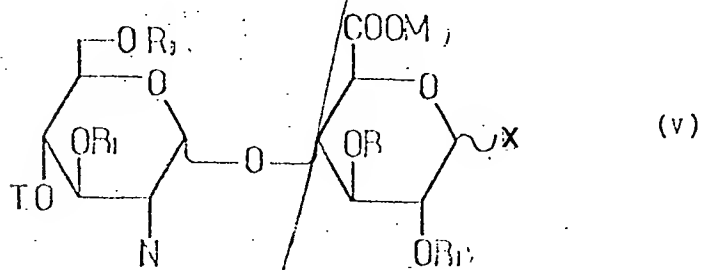
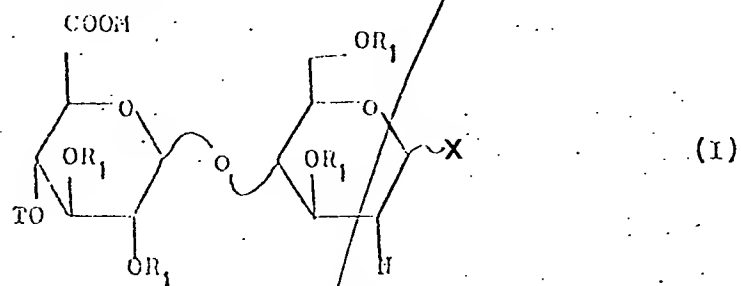
A is a glucosamine or galactosamine and

U is a glucuronic acid or iduronic acid.

C2 50. The oligosaccharide of claim 49 having the structure of a heparin or heparin sulfate fragments which comprises,

$\underline{c1} \xrightarrow{\alpha} \underline{4a}$ ,  $\underline{a1} \xrightarrow{\alpha} \underline{4b}$ ,  $\underline{a1} \xrightarrow{\alpha} \underline{4c}$  and  $\underline{b1} \xrightarrow{\beta} \underline{4a}$  linkage.

51. An oligosaccharide of the formula



wherein

T is hydrogen or a reactive radical ultimately replaceable by a saccharide,

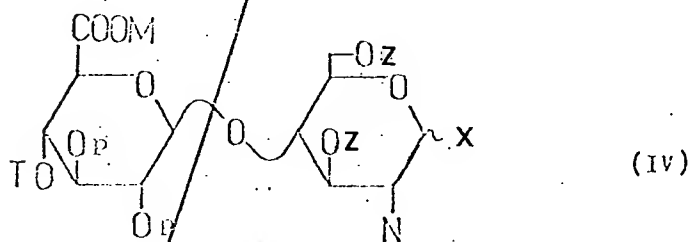
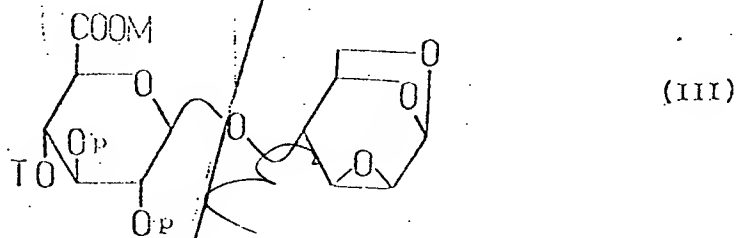
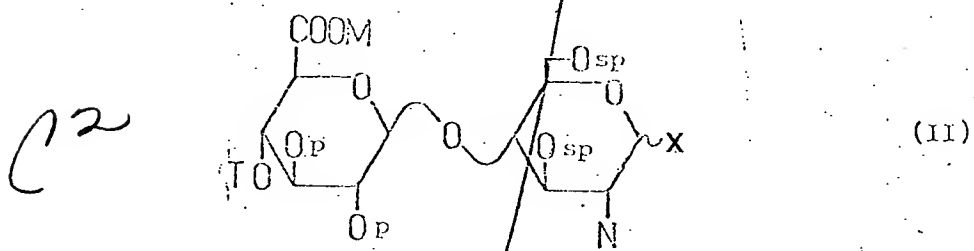
X is OH or a reactive radical ultimately replaceable by a saccharide,

N is a radical containing a nitrogen group or a precursor thereof,

M is hydrogen, a sulfate group or a reactive radical ultimately replaceable by hydrogen, and

R<sub>1</sub> is the same or different and is hydrogen, acyl, substituted alkyl or sulfate.

52. An oligosaccharide of the formula



wherein

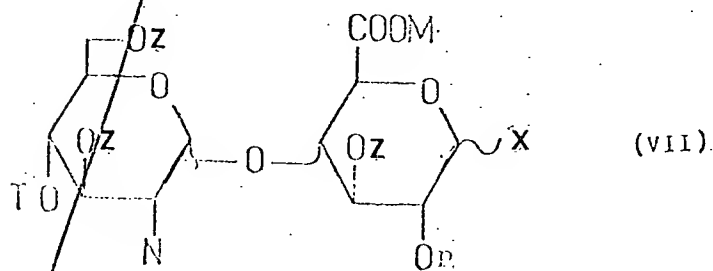
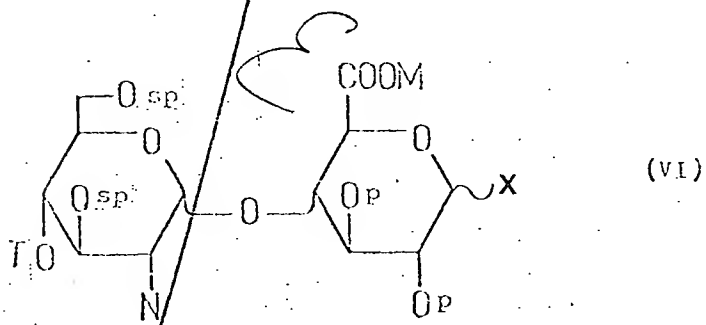
T is acyl, halogenated acyl, substituted alkyl or hydrogen,

X is O-acyl, O-alkyl, O-substituted alkyl, halogen or imidoyl,

p is substituted alkyl or hydrogen,  
 sp is acyl or hydrogen,  
 z is acyl substituted alkyl or hydrogen,  
 M is hydrogen or alkyl, and  
 N is an azide group.

53. The oligosaccharide of claim 52 wherein  
 T is acetyl, monochloroacetyl, trichloroacetyl,  
 benzyl, paramethoxybenzyl, or hydrogen,  
 X is O-acetyl, O-methyl, O-benzyl, bromide or imidoyl,  
 p is benzyl,  
 sp is acetyl or hydrogen,  
 z is benzyl, acetyl or hydrogen, and  
 M is hydrogen or methyl

54. An oligosaccharide of the formula



wherein

T is acyl, halogenated acyl, substituted alkyl or hydrogen,

X is O-acyl, O-alkyl, O-substituted alkyl, halogen, imidoyl or hydrogen,

p is substituted alkyl or hydrogen,

sp is acyl or hydrogen,

Z is acyl, substituted alkyl or hydrogen,

M is alkyl or hydrogen, and

N is azide or substituted amine.

55. The oligosaccharide of claim 54 wherein

C<sup>2</sup>  
T is acetyl, monochloroacetyl, trichloroacetyl, benzyl paramethoxybenzyl, or hydrogen,

X is O-acetyl, O-methyl, O-benzyl, bromide, imidoyl, O-propenyl, O-allyl or OH,

p is benzyl,

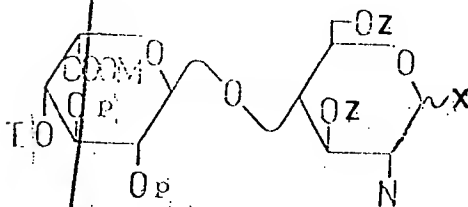
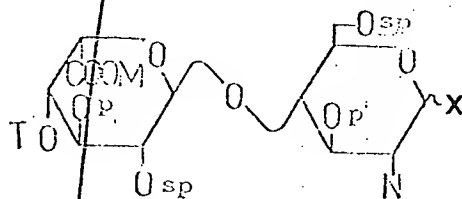
sp is benzyl, acetyl or hydrogen,

Z is benzyl, acetyl or hydrogen,

M is hydrogen or methyl, and

N is azide or NH-acetyl.

56. The oligosaccharide of the formula



wherein

T is acyl, halogenated acyl, substituted aracyl,

X is O-acyl, O-alkyl, O-substituted alkyl, halogen or imido-yl,

sp is acyl, aryl substituted alkyl or hydrogen,

p is acyl, aryl, substituted alkyl or hydrogen,

z is acyl, aryl, substituted alkyl or hydrogen,

M is hydrogen or alkyl,

N is azide or  $\text{NHCOO}$ -(substituted alkyl).

57. The oligosaccharide of claim 56 wherein

2  
T is acetyl, monochloroacetyl, trichloroacetyl, benzyl, paramethoxybenzyl or hydrogen,

X is O-acetyl, O-methyl, O-benzyl, bromide or imido-yl,

p is acetyl, benzoyl or benzyl,

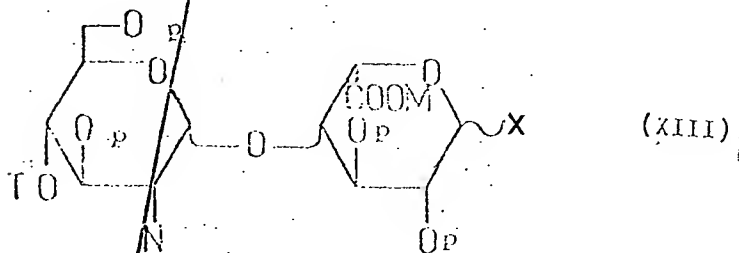
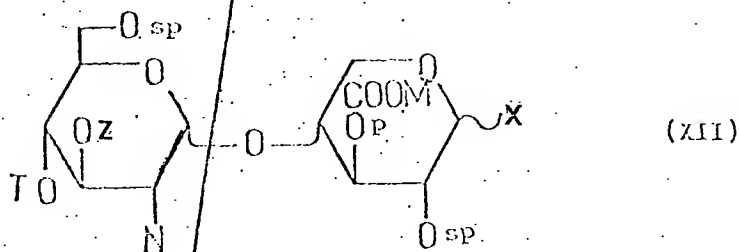
sp is acetyl, benzoyl or benzyl,

z is acetyl, benzoyl or benzyl,

M is hydrogen or methyl, and

N is azide,  $\text{NHCOOCH}_2\text{C}_6\text{H}_5$ .

58. An oligosaccharide of the formula



wherein

T is acyl, halogenated acyl, substituted alkyl or hydrogen,

X is O-acyl, O-alkyl, O-substituted alkyl, halogen or imidoyl,

p is substituted alkyl or hydrogen,

sp is acyl or hydrogen,

Z is acyl, substituted alkyl or hydrogen,

M is hydrogen or alkyl, and

N is azide.

59. The oligosaccharide of claim 58 wherein

C2  
T is acetyl, monochloroacetyl, trichloroacetyl, benzyl, paramethoxybenzyl, or hydrogen

X is O-acetyl, O-methyl, O-benzyl, bromide or imidoyl,

p is benzyl,

sp is acetyl or hydrogen,

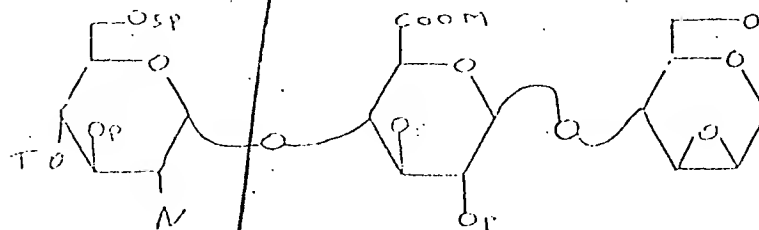
Z is benzyl, acetyl or hydrogen, and

M is hydrogen or methyl.

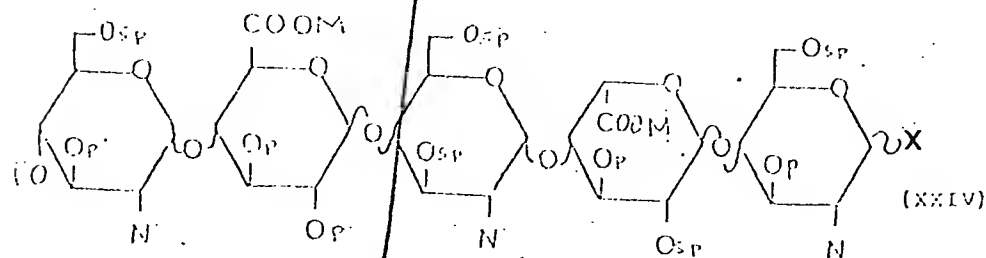
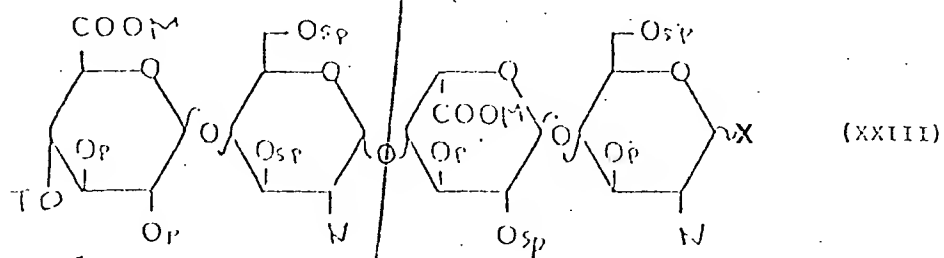
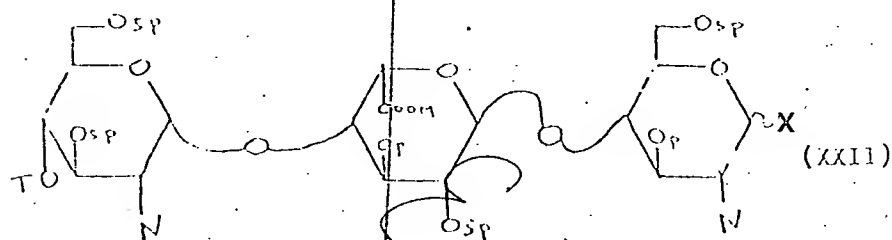
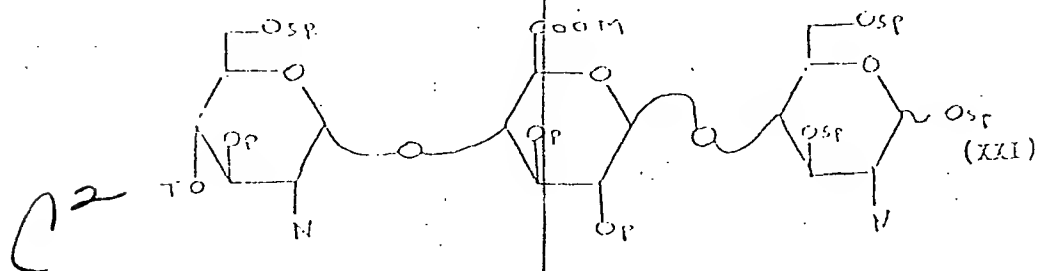
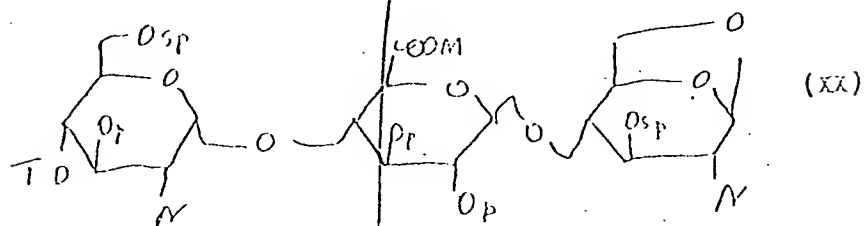
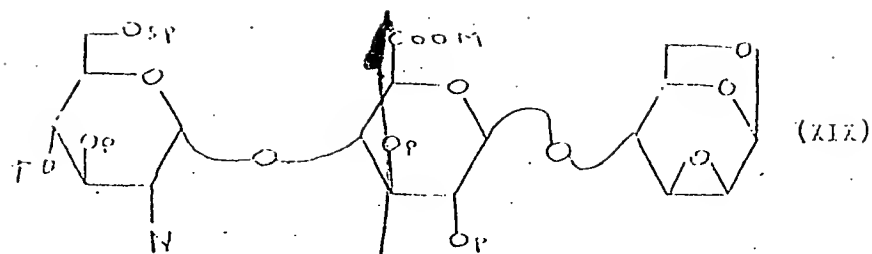
60. An oligosaccharide having the structure

ABCDEFGF, C'DEFGH, AB, BC, CD, DE, EF, FG, GH, ABC, BCD, CDE, DEF, EFG, EFGN, FGH, ABCD, BCDE, CDEF, DEFG, EFGH, ABCDE, BCDEF, CDEFG, DEFGH, ABCDEF, BCDEFG, CDEFGH, or BCDEFGH.

61. The oligosaccharide of claim 60 having the formula



(XVIII)





wherein

T is acyl, halogenated acyl, substituted alkyl or hydrogen,

X is O-acyl, O-alkyl, O-substituted alkyl, halogenated or imidoyl,

p is substituted alkyl or hydrogen,

sp is acyl or hydrogen,

M is alkyl or hydrogen, and

N is azide, or substituted amino.

C2

62. The oligosaccharide of claim 61 wherein

T is acetyl, monochloroacetyl, trichloroacetyl, benzyl, paramethoxybenzyl or hydrogen,

X is O-acetyl, O-methyl, O-allyl, O-propenyl, O-benzyl, bromide or imidoyl,

p is benzyl or hydrogen,

sp is acetyl or hydrogen,

M is hydrogen or methyl, and

N is azide, NH acetyl, NHCOO-acetyl or

$\text{NHCOOCH}_2\text{CH}_5$

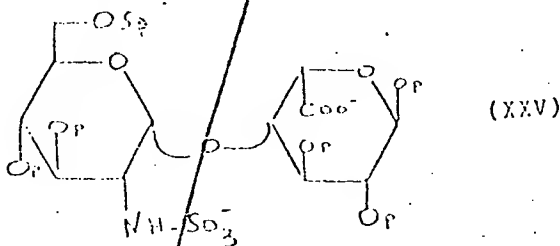
63. The oligosaccharide of claim 53, 55, 57 or 59 wherein

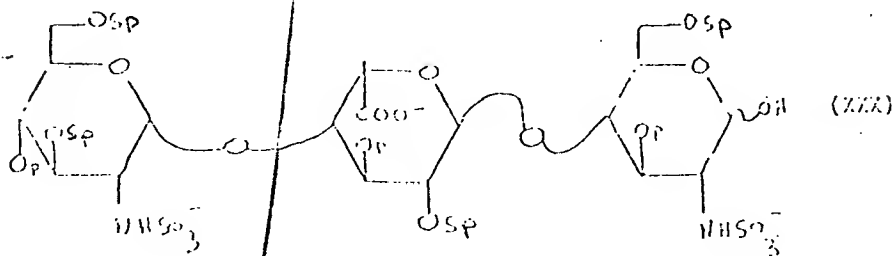
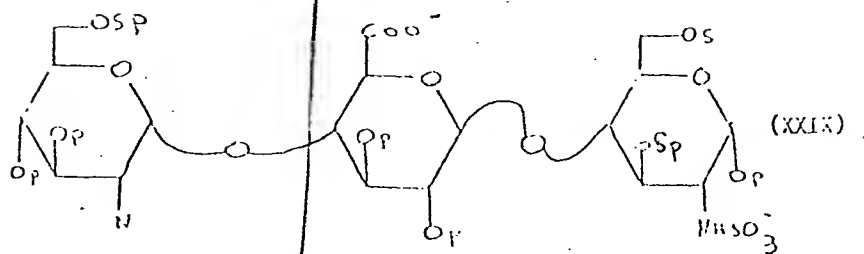
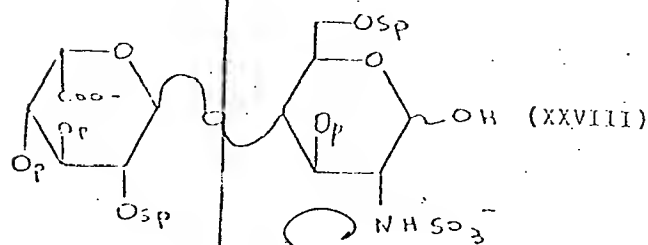
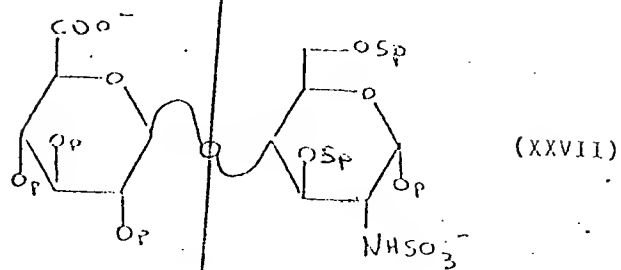
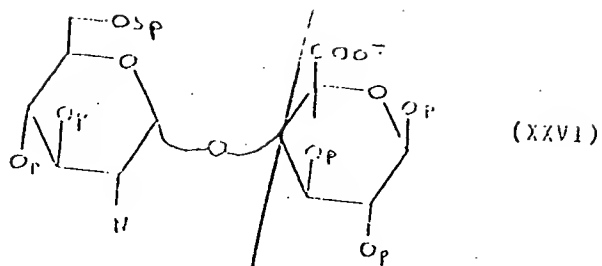
sp is a sulfate ester or phosphate ester and

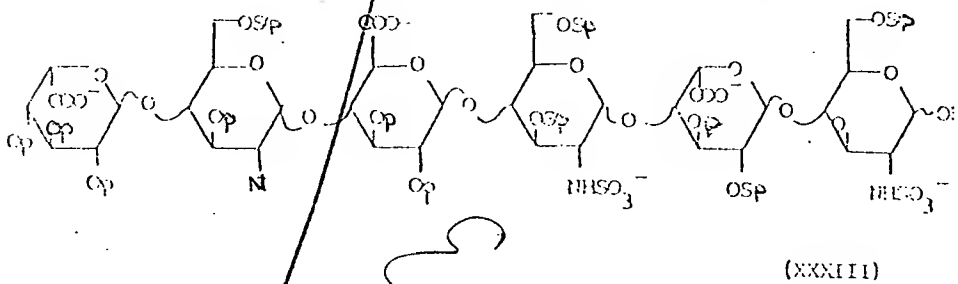
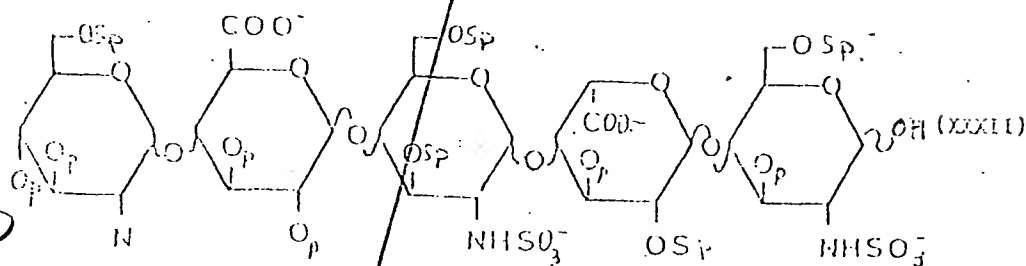
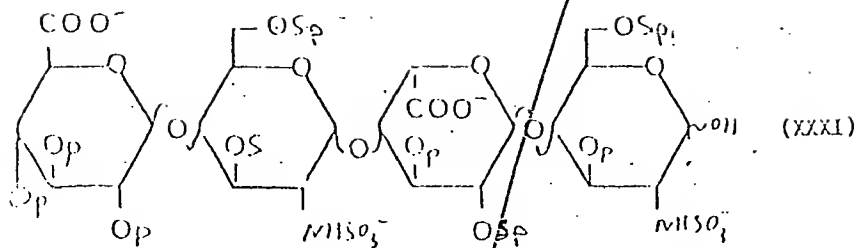
N is NH-acetyl or  $\text{NH}\text{SO}_3$ .

Sub  
A2

64. An oligosaccharide of the formula







wherein N is NH-acyl or  $\text{NHSO}_3^-$ ,

p is benzyl or hydrogen,

sp is  $\text{SO}_3^-$  or H, and its pharmaceutically

acceptable salts.

65. The oligosaccharide of claim 64 wherein p is hydrogen.

66. The oligosaccharide of claim 64 wherein sp is  $\text{SO}_3^-$ .

67. The oligosaccharide of claim 64 wherein  
sp is  $\text{SO}_3^-$  and p is H.

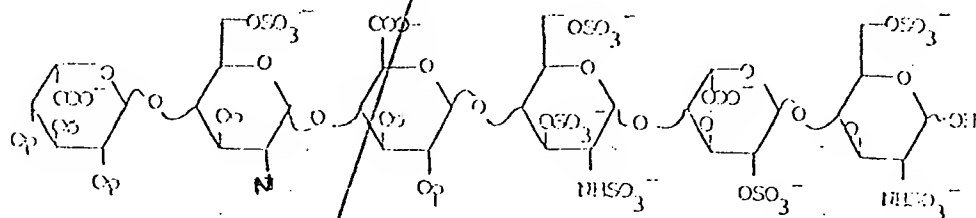
68. The oligosaccharide of claim 52, 54, 56, 58 wherein at least one

p, sp, z, or T is H, O-sulfate ester or O-phosphate ester with the exclusion of [2-N-sulfate-6-O-sulfate-D-glucosamine] -methyl-D-glucuronic acid or [2-N-acetyl-6-O-sulfate-D-glucosamine] -methyl-D-glucuronic acid.

69. An antithrombotic pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound of claim 68.

70. An antithrombotic pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound of claim 64.

71. The pharmaceutical composition of claim 69 wherein the compound has the formula

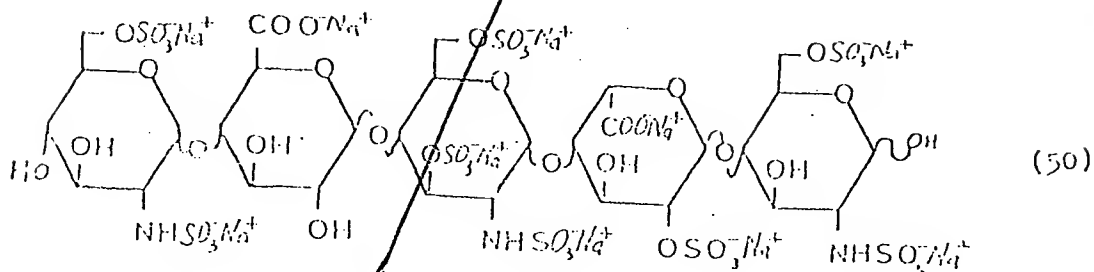


(XXXII)

wherein

N is  $\text{NHSO}_3^-$  or NH-acyl and  
p is hydrogen.

72. The pharmaceutical composition of claim 69 wherein the compound has the formula



73. A therapeutic method of controlling thrombosis which comprises administering to a patient in a therapeutically effective amount the pharmaceutical composition of claim 69.

74. A therapeutic method of controlling thrombosis which comprises administering to a patient in a therapeutically effective amount the pharmaceutical composition of claim 70.

75. A therapeutic method of controlling thrombosis which comprises administering to a patient the pharmaceutical composition of claim 71.

76. A therapeutic method of controlling thrombosis which comprises administering to a patient the pharmaceutical composition of claim 72.

77. The method of claim 74 wherein the composition is administered intravenously.

78. The method of claim 74 wherein the composition is administered orally.

79. The method of claim 74 wherein the composition is administered subcutaneously.

80. The method of claim 74 wherein the composition is administered rectally.

81. A pharmaceutical composition for the prophylaxis and treatment of thrombosis which comprises a pharmaceutically acceptable carrier, the compound of claim 64 and a veinotonic or thrombolytic agent.

82. The composition of claim 81 wherein the veinotonic or thrombolytic agent is dihydroergotamine, nicotinic acid salt or urokinase.

83. A conjugate which comprises a compound of claim 49 covalently linked to a soluble or insoluble support.

84. The conjugate of claim 79 wherein the oligosaccharide is a compound of the formula

